141396

U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

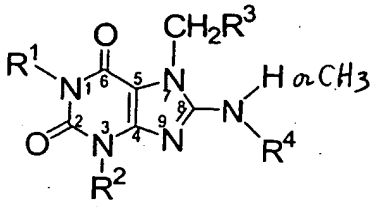
Requestor's Name: BERCH Serial Number: 10/777849

Date: 12/28/04 Phone: 571-272-0663 Art Unit: 1624

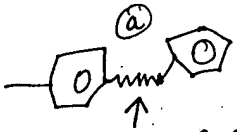
Office Rem 5C01 Mailbox 5C18

Search Topic:

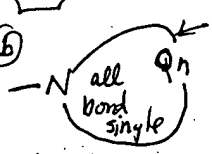
Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).



R_3 =  or  or Hy, but exclude those choices a, b (that is, $R \neq$ a or b)

(a) 

↑ linkage of 0-2 atoms of any kind between 2 rings

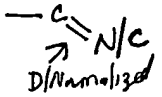
(b) 

$n = 3-8$

$Q = C/N/O/S$

$R_1 = R_2 =$ C (Rochain)

R_4 = Hy, but exclude any Hy with this structure



Point of Contact:
Alexandra Waclawiw
Technical Info. Specialist
CM1 6A02 Tel: 308-4491

STAFF USE ONLY

Date completed: 1-10-05

Searcher: _____

Terminal time: _____

Elapsed time: _____

CPU time: _____

Total time: _____

Number of Searches: 12

Number of Databases: 19

Search Site

_____ STIC
_____ CM-1
_____ Pre-S

Type of Search

_____ N.A. Sequence
_____ A.A. Sequence
_____ Structure
_____ Bibliographic

Vendors

19

(1) STN IG 24600
_____ STN
_____ Dialog
_____ APS
_____ Geninfo
_____ SDC
_____ DARC/Questel
_____ Other

PTO-1590 (9-90)

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=> d his

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               DEL HIS Y

     FILE 'REGISTRY' ENTERED AT 07:38:47 ON 10 JAN 2005
               ACT BERCH777/A
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L1             STR
L2           57 SEA FILE=REGISTRY SSS FUL L1
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L3            7 S L2 NOT (CAPLUS OR CA OR USPATFULL)/LC

     FILE 'CAPLUS' ENTERED AT 07:39:15 ON 10 JAN 2005
L4            4 S L2
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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:     7 JAN 2005  HIGHEST RN 810025-80-0
DICTIONARY FILE UPDATES:    7 JAN 2005  HIGHEST RN 810025-80-0

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> d que stat l2
L1                STR
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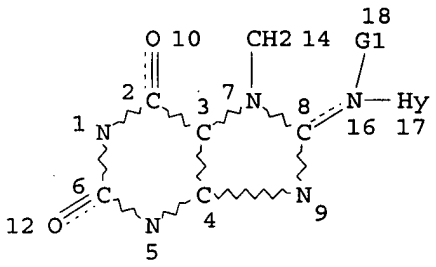


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CONNECT IS E3  RC AT   1
CONNECT IS E3  RC AT   5
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  15

STEREO ATTRIBUTES: NONE
L2             57 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED  10930 ITERATIONS                      57 ANSWERS
SEARCH TIME: 00.00.01


=> d his l3
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(FILE 'REGISTRY' ENTERED AT 07:38:47 ON 10 JAN 2005)

L3 7 S L2 NOT (CAPLUS OR CA OR USPATFULL)/LC

=> d que stat l3
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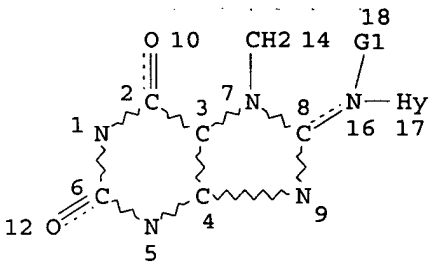

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CONNECT IS E3 RC AT 5
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE
L2 57 SEA FILE=REGISTRY SSS FUL L1
L3 7 SEA FILE=REGISTRY ABB=ON PLU=ON L2 NOT (CAPLUS OR CA OR USPATFULL)/LC

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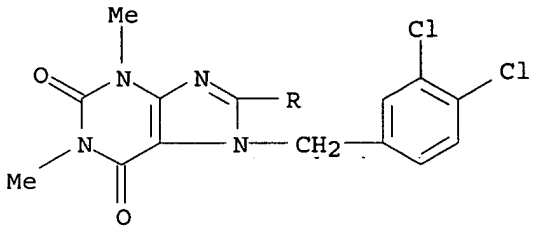
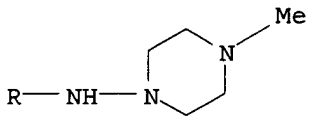
7 ANSWERS ARE AVAILABLE. SPECIFIED ANSWER NUMBER EXCEEDS ANSWER SET SIZE
ENTER ANSWER NUMBER OR RANGE (1):1-7

L3 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN
RN 586987-63-5 REGISTRY
CN 1H-Purine-2,6-dione, 7-[(3,4-dichlorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[(4-methyl-1-piperazinyl)amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H23 Cl2 N7 O2
SR Chemical Library
LC STN Files: CHEMCATS





PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN
RN 585561-13-3 REGISTRY
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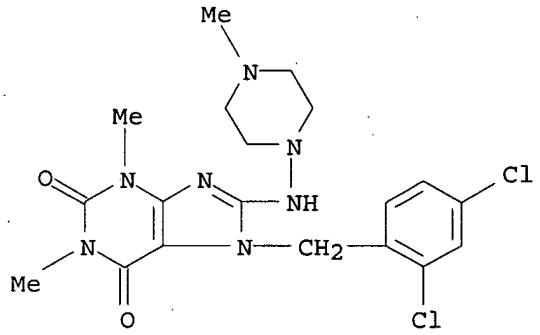
FS 3D CONCORD
MF C19 H23 Cl2 N7 O2
SR Chemical Library
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 ANSWER 3 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN
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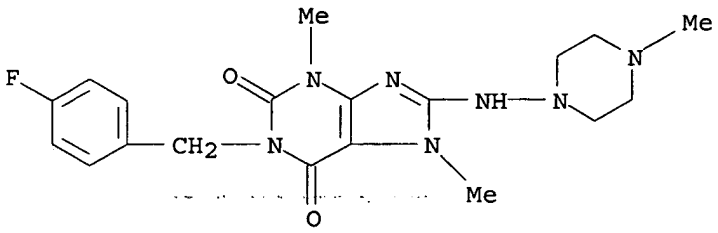
FS 3D CONCORD
MF C19 H24 F N7 O2
SR Chemical Library
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN
RN 578724-30-8 REGISTRY
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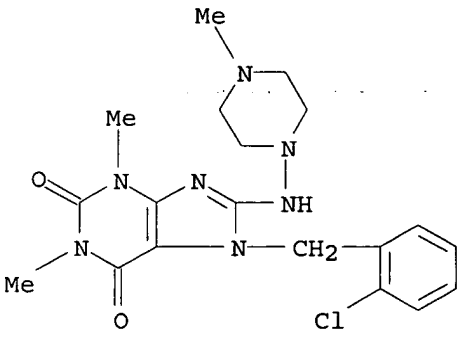
FS 3D CONCORD
MF C19 H24 Cl N7 O2
SR Chemical Library
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 ANSWER 5 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN
RN 577998-02-8 REGISTRY
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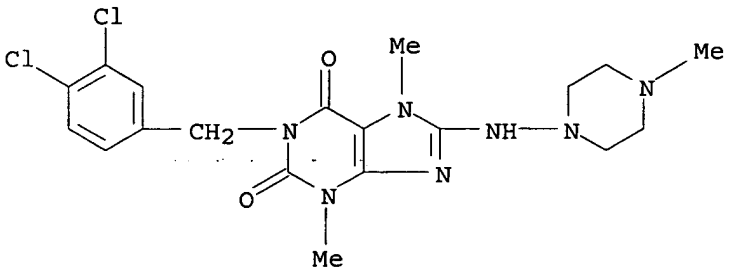
FS 3D CONCORD
MF C19 H23 Cl2 N7 O2
SR Chemical Library
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 ANSWER 6 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN
RN 577996-80-6 REGISTRY
CN 1H-Purine-2,6-dione, 7-[(4-bromophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[(4-methyl-1-piperazinyl)amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
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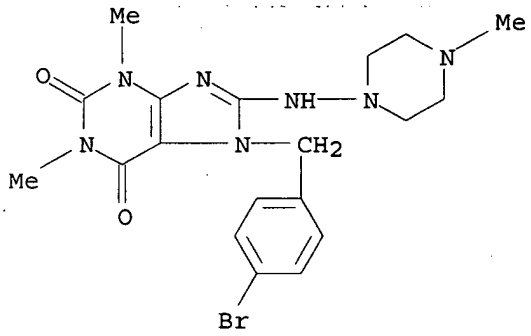
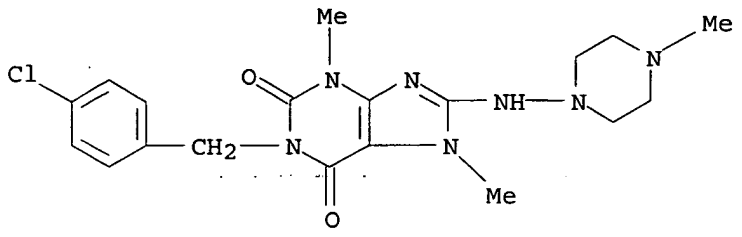
SR Chemical Library
LC STN Files: CHEMCATS



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L3 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2005 ACS on STN
RN 573708-33-5 REGISTRY
CN 1H-Purine-2,6-dione, 1-[(4-chlorophenyl)methyl]-3,7-dihydro-3,7-dimethyl-8-[(4-methyl-1-piperazinyl)amino]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C19 H24 Cl N7 O2
SR Chemical Library
LC STN Files: CHEMCATS



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FILE 'CAPLUS' ENTERED AT 07:40:52 ON 10 JAN 2005
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=> d que nos l6
L1 STR
L2 57 SEA FILE=REGISTRY SSS FUL L1
L4 4 SEA FILE=CAPLUS ABB=ON PLU=ON L2
L5 3 SEA FILE=USPATFULL ABB=ON PLU=ON L2
L6 7 DUP REM L4 L5 (0 DUPLICATES REMOVED)

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L6 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:80509 CAPLUS
DOCUMENT NUMBER: 140:146154
TITLE: Preparation of purine derivatives as liver X receptor agonists
INVENTOR(S): Boggs, Sharon; Collins, Jon L.; Fivush, Adam; Stewart, Eugene Lee; Willson, Timothy Mark
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 271 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

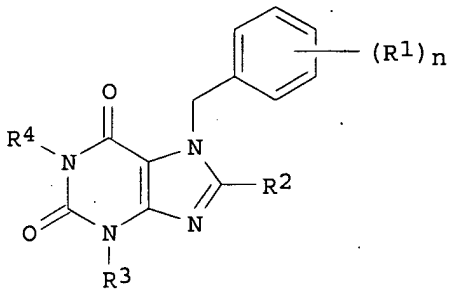
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004009091	A1	20040129	WO 2003-US16016	20030520

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-389689P P 20020717
OTHER SOURCE(S): MARPAT 140:146154
ED Entered STN: 01 Feb 2004

GI



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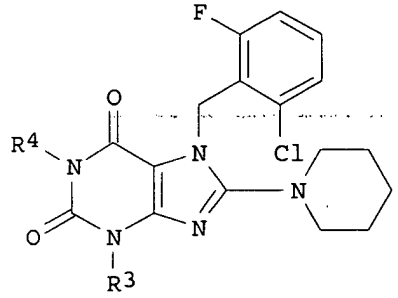

II

AB Title compds. I [wherein n = 1-5; R1 = independently halo, alkyl, or NO2; R2 = NR6R7, NR12(R8)aA, A, NR12(R8)aA(R8)bB, A(R8)bB, A(R8)bCOB, or ACONR12(R8)bB; A and B = independently (un)substituted cycloalkyl, cycloalkenyl, (hetero)aryl, or heterocyclyl; a and b = independently 0 or 1; R3 and R4 = independently H, (cyclo)alkyl, (cyclo)alkenyl, alkynyl, (hetero)aryl, heterocyclyl, R8-cycloalkyl, R8-(hetero)aryl, R8-heterocyclyl, R8CO2R9, R8CONR9R10, R8OR9, R8SR9, or R8O-aryl; R6 and R7 = independently H, alkyl, alkenyl, alkynyl, R8OR9, R8SR9, R8NR9R10, R8CN, or R8CO2R9; R8 = alkylene or alkenylene; R9, R10, and R12 = independently H, alkyl, alkenyl, or alkynyl; and pharmaceutically acceptable salts or solvates thereof] were prepared as liver X receptor (LXR) agonists. For example, Me 4-amino-1-(2-chloro-6-fluorobenzyl)-2-(piperidin-1-yl)-1H-imidazole-5-carboxylate (2-step preparation given) was condensed with Ph isocyanate in xylenes to give the urea (80%), which was cyclized (59%) by heating to 80° with NaOMe in MeOH for 1 h. Alkylation with MeI in DMF and work up afforded II (R3 = Me; R4 = Ph) in 76% yield. The related purine II (R3 and R4 = Et), prepared according to the same procedure in 73% yield, displayed activity against human LXRα and LXRβ with pEC5 values of 5.9 and 6.7, resp. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of LXR mediated diseases or conditions, including cardiovascular disease and atherosclerosis (no data).

IC ICM A61K031-52

ICS C07D273-04; C07D473-06; A61P009-00
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
IT 305865-20-7P 309937-15-3P 309937-25-5P 309937-41-5P 309937-69-7P
309937-99-3P 309938-02-1P 317842-06-1P 326919-17-9P 332116-01-5P
332904-78-6P 359698-12-7P 359901-55-6P 359901-65-8P 359903-26-7P
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652164-72-2P 652164-73-3P 652164-74-4P 652164-75-5P 652164-76-6P
652164-77-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(LXR agonist; preparation of purine derivs. as liver X receptor agonists for treatment of cardiovascular disease, atherosclerosis, and other LXR mediated conditions)
IT 652164-78-8P 652164-79-9P **652164-80-2P** 652164-81-3P
652164-82-4P 652164-83-5P 652164-84-6P 652164-85-7P 652164-86-8P

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652167-09-4P 652167-10-7P 652167-11-8P 652167-12-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(LXR agonist; preparation of purine derivs. as liver X receptor agonists for treatment of cardiovascular disease, atherosclerosis, and other LXR mediated conditions)

IT 652167-13-0P 652167-14-1P 652167-15-2P 652167-16-3P 652167-17-4P
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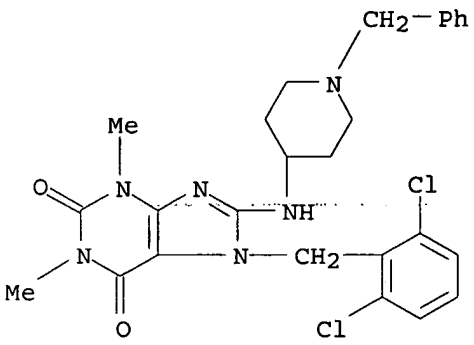
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(LXR agonist; preparation of purine derivs. as liver X receptor agonists for treatment of cardiovascular disease, atherosclerosis, and other LXR mediated conditions)

IT **652164-28-8P** **652164-30-2P** **652164-31-3P**
652164-36-8P **652164-68-6P** **652164-80-2P**
652165-07-6P **652165-12-3P** **652165-28-1P**
652165-73-6P **652165-77-0P** **652166-08-0P**
652166-13-7P **652166-30-8P** **652166-42-2P**
652166-51-3P **652166-66-0P** **652168-03-1P**
652168-10-0P **652168-22-4P** **652168-23-5P**
652168-47-3P **652168-77-9P** **652168-89-3P**
652169-06-7P **652169-07-8P**

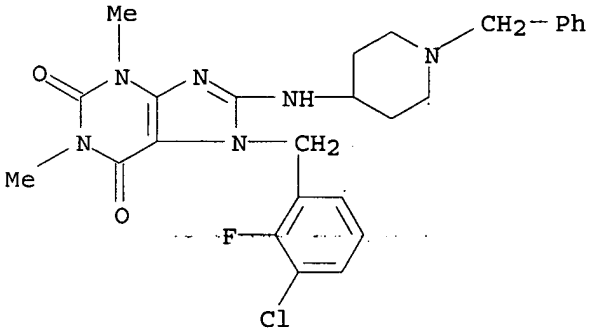
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(LXR agonist; preparation of purine derivs. as liver X receptor agonists for treatment of cardiovascular disease, atherosclerosis, and other LXR mediated conditions)

RN 652164-28-8 CAPLUS

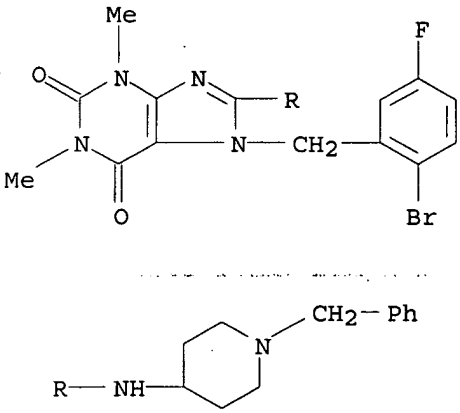
CN 1H-Purine-2,6-dione, 7-[(2,6-dichlorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



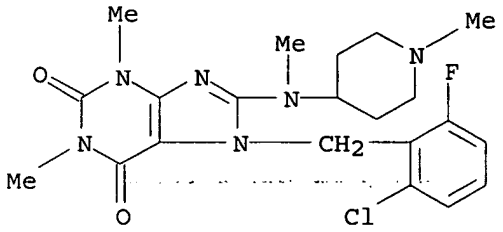
RN 652164-30-2 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-chloro-2-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



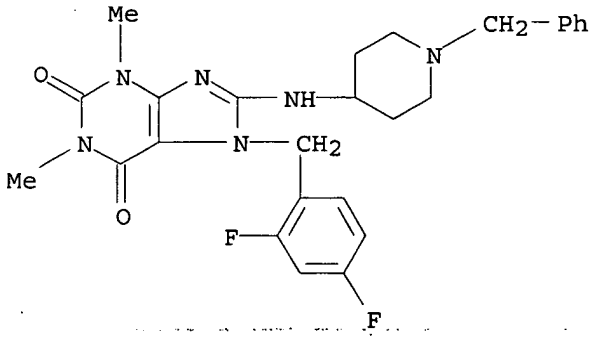
RN 652164-31-3 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2-bromo-5-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



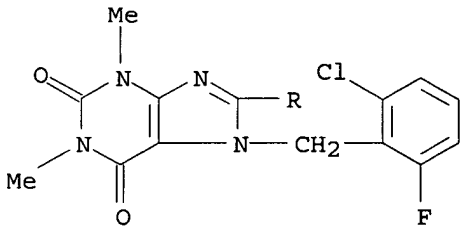
RN 652164-36-8 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2-chloro-6-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[methyl(1-methyl-4-piperidinyl)amino]- (9CI) (CA INDEX NAME)

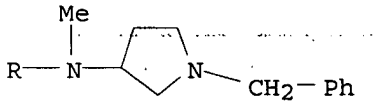


RN 652164-68-6 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2,4-difluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

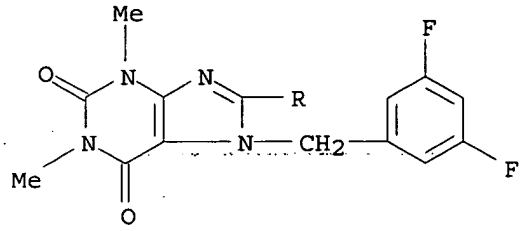


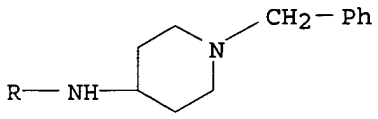
RN 652164-80-2 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2-chloro-6-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[methyl[1-(phenylmethyl)-3-pyrrolidinyl]amino]- (9CI) (CA INDEX NAME)



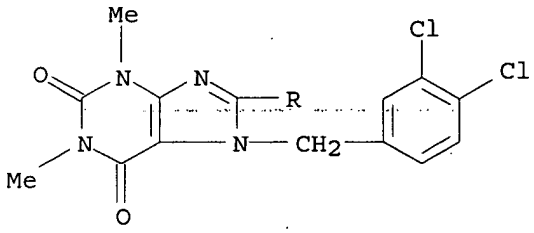


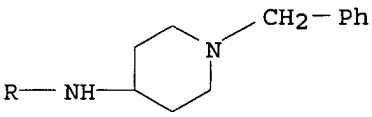
RN 652165-07-6 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3,5-difluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



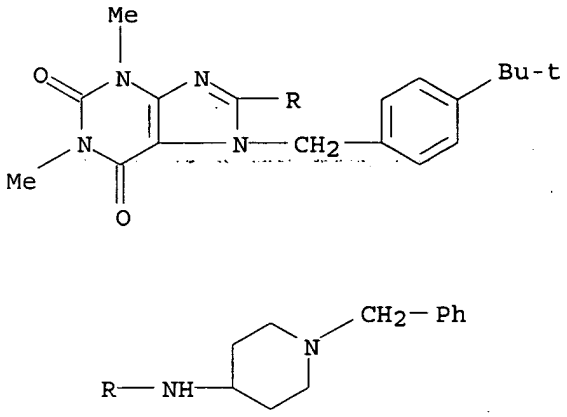


RN 652165-12-3 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3,4-dichlorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

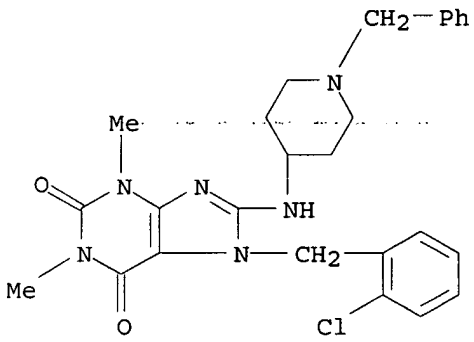




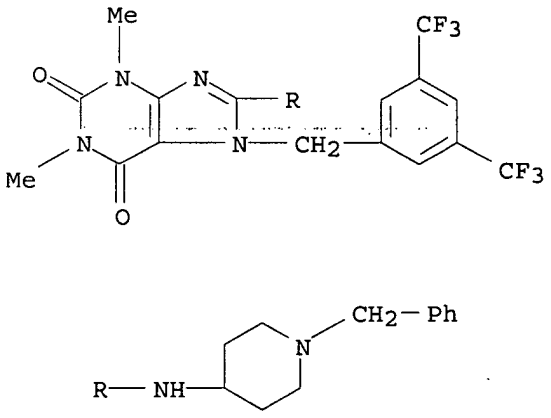
RN 652165-28-1 CAPLUS
CN 1H-Purine-2,6-dione, 7-[[4-(1,1-dimethylethyl)phenyl]methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



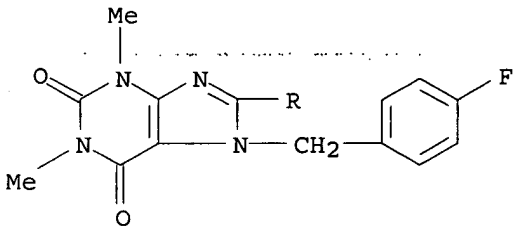
RN 652165-73-6 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2-chlorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

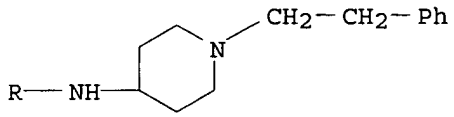


RN 652165-77-0 CAPLUS
CN 1H-Purine-2,6-dione, 7-[[3,5-bis(trifluoromethyl)phenyl]methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

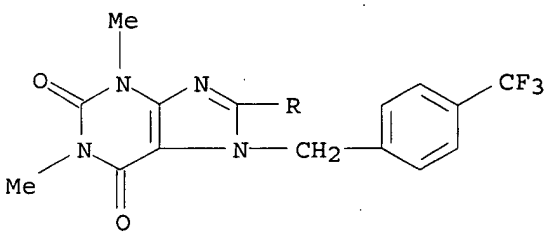


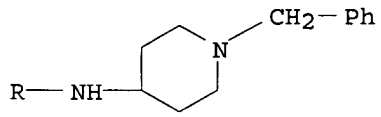
RN 652166-08-0 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(4-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(2-phenylethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



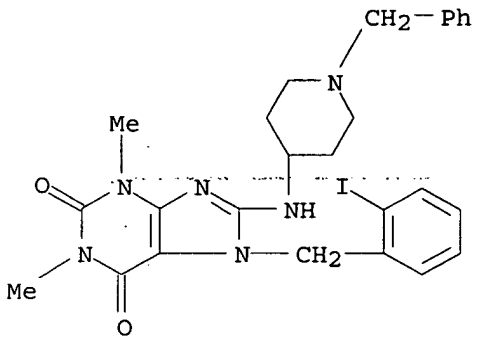


RN 652166-13-7 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]-7-[[4-(trifluoromethyl)phenyl]methyl]- (9CI) (CA INDEX NAME)

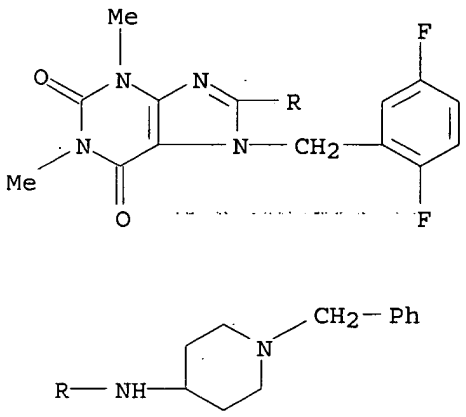




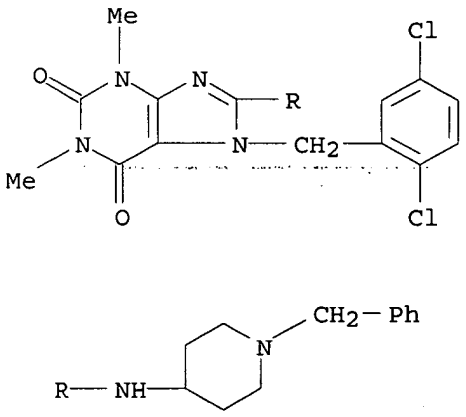
RN 652166-30-8 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-7-[(2-iodophenyl)methyl]-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 652166-42-2 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2,5-difluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

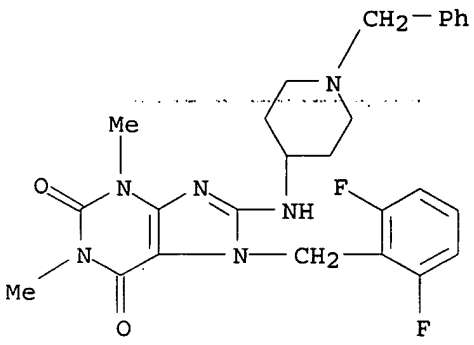


RN 652166-51-3 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2,5-dichlorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

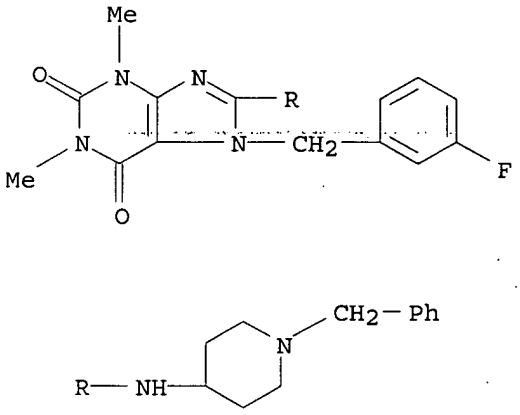


RN 652166-66-0 CAPLUS

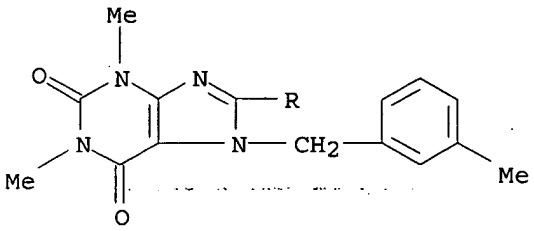
CN 1H-Purine-2,6-dione, 7-[(2,6-difluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

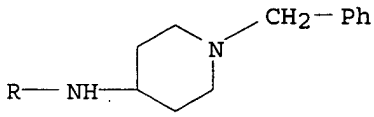


RN 652168-03-1 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

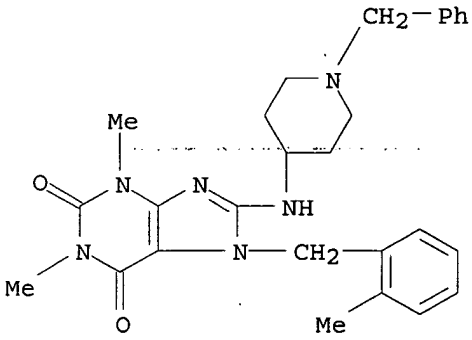


RN 652168-10-0 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-[(3-methylphenyl)methyl]-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

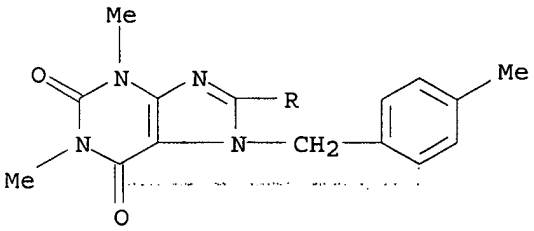


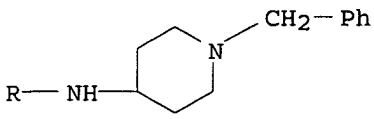


RN 652168-22-4 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-[(2-methylphenyl)methyl]-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



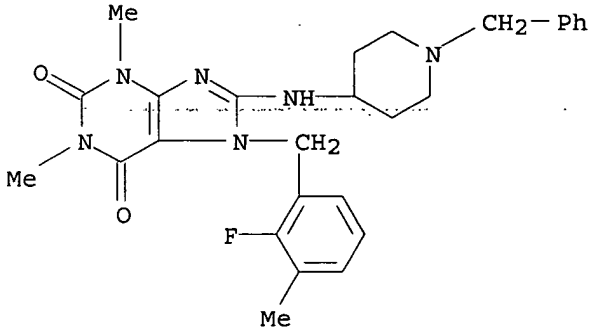
RN 652168-23-5 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-[(4-methylphenyl)methyl]-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



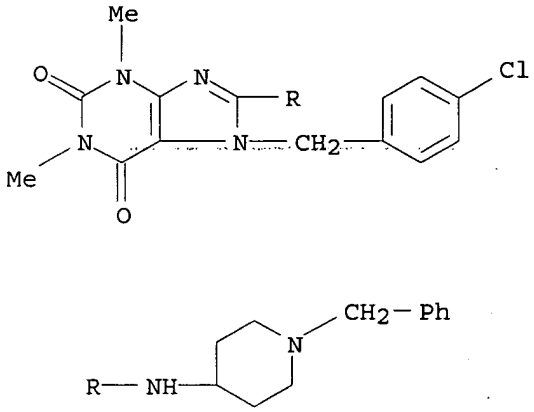


RN 652168-47-3 CAPLUS

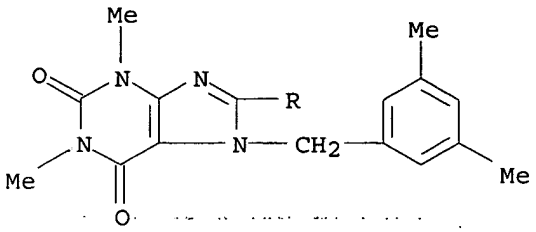
CN 1H-Purine-2,6-dione, 7-[(2-fluoro-3-methylphenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

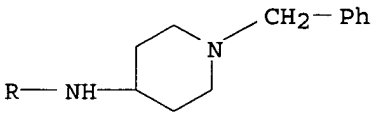


RN 652168-77-9 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(4-chlorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

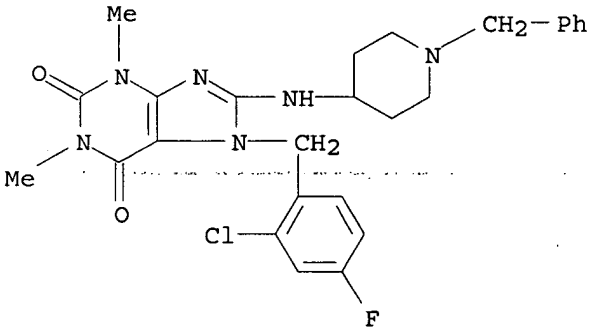


RN 652168-89-3 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3,5-dimethylphenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)

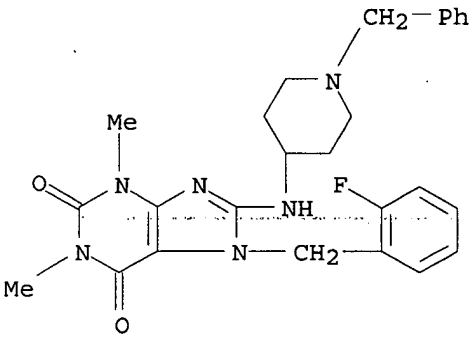




RN 652169-06-7 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2-chloro-4-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



RN 652169-07-8 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(2-fluorophenyl)methyl]-3,7-dihydro-1,3-dimethyl-8-[[1-(phenylmethyl)-4-piperidinyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 7 USPATFULL on STN

ACCESSION NUMBER: 2004:292796 USPATFULL
TITLE: Xanthine phosphodiesterase V inhibitors
INVENTOR(S): Chackalamannil, Samuel, Califon, NJ, UNITED STATES
Wang, Yuguang, North Brunswick, NJ, UNITED STATES
Boyle, Craig D., Branchburg, NJ, UNITED STATES
Stamford, Andrew W., Chatham Township, NJ, UNITED STATES
PATENT ASSIGNEE(S): SCHERING CORPORATION (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004229885	A1	20041118
APPLICATION INFO.:	US 2004-864218	A1	20040609 (10)

RELATED APPLN. INFO.: Continuation of Ser. No. US 2001-940760, filed on 28 Aug 2001, PENDING

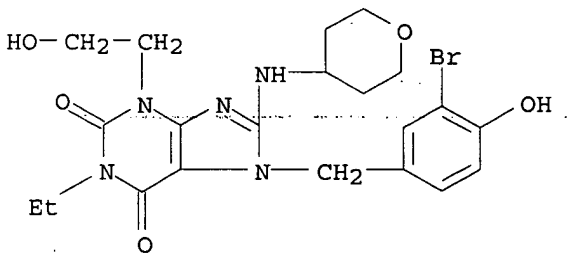
	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-233567P	20000919 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
NUMBER OF CLAIMS: 40
EXEMPLARY CLAIM: 1
LINE COUNT: 2144
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

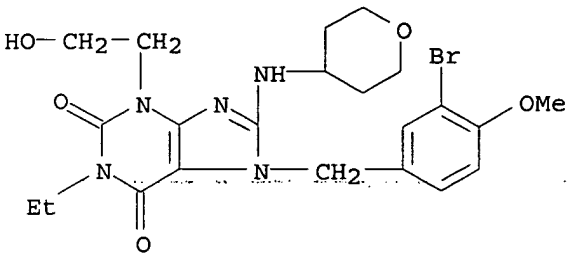
AB A xanthine phosphodiesterase V inhibitor having the formula (I), with the variables defined herein, which is especially useful for treating male (erectile) and female sexual dysfunction and other physiological disorders: ##STR1##

For example, a representative compound of the invention is: ##STR2##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **405214-54-2P 405214-64-4P**
(preparation of arylmethyl-1H-purine-2,6-diones as xanthine phosphodiesterase V inhibitors)
RN 405214-54-2 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-hydroxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



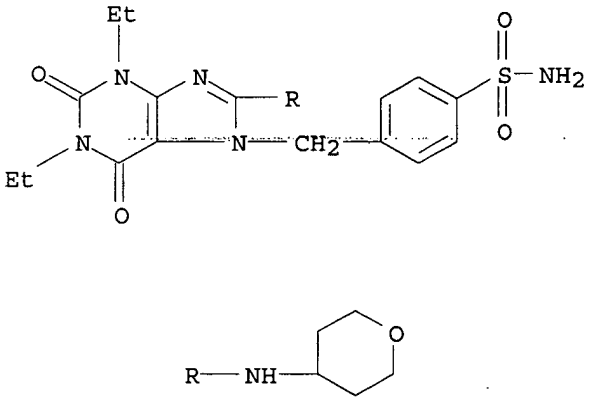
RN 405214-64-4 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



IT **405215-37-4P 405215-38-5P 405215-39-6P**
405215-40-9P 405215-41-0P 405215-42-1P
405215-43-2P 405215-44-3P 405215-45-4P
405215-46-5P 405215-47-6P
(xanthine phosphodiesterase v inhibitors)

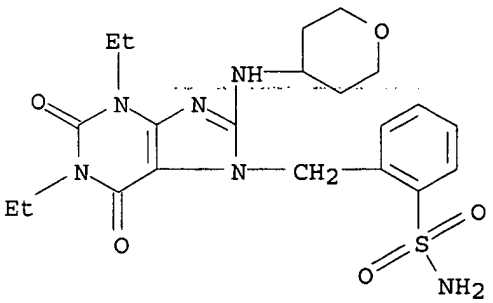
RN 405215-37-4 USPATFULL

CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



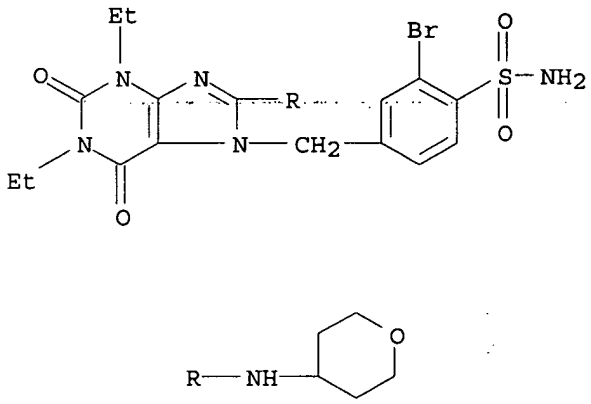
RN 405215-38-5 USPATFULL

CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



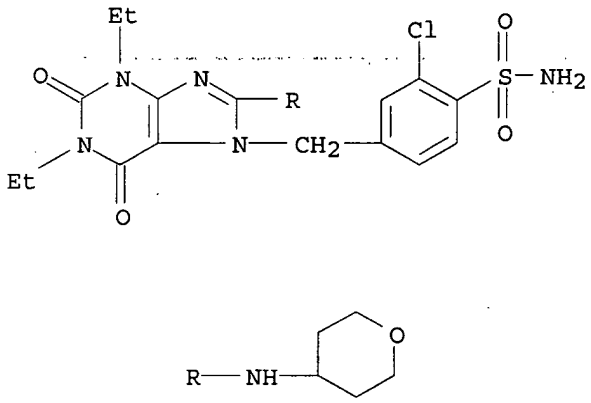
RN 405215-39-6 USPATFULL

CN Benzenesulfonamide, 2-bromo-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



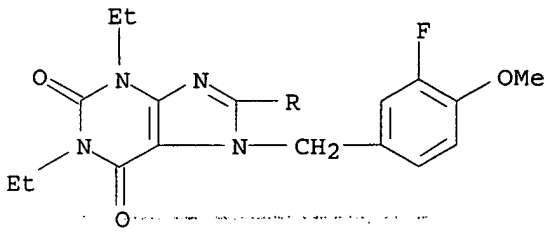
RN 405215-40-9 USPATFULL

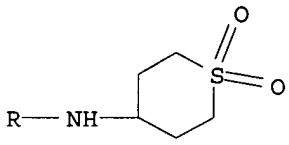
CN Benzenesulfonamide, 2-chloro-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



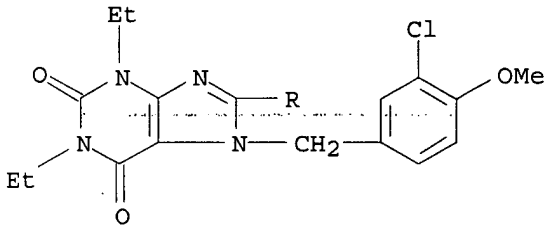
RN 405215-41-0 USPATFULL

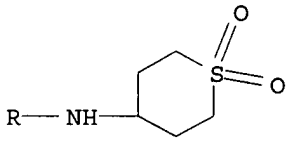
CN 1H-Purine-2,6-dione, 1,3-diethyl-7-[(3-fluoro-4-methoxyphenyl)methyl]-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



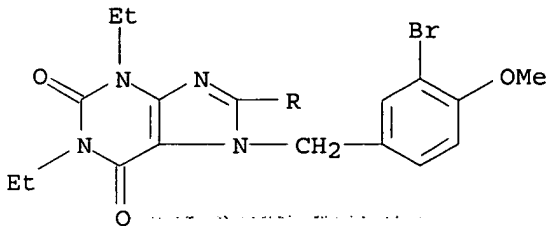


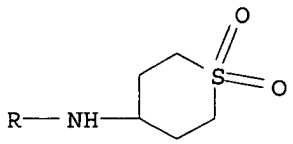
RN 405215-42-1 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-chloro-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



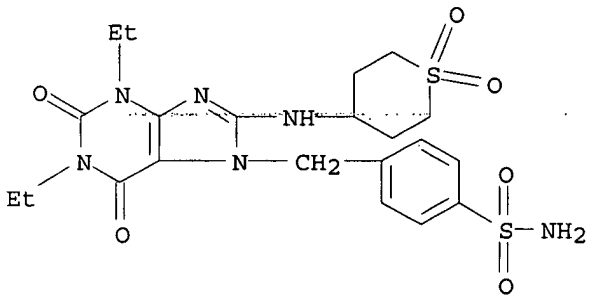


RN 405215-43-2 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)

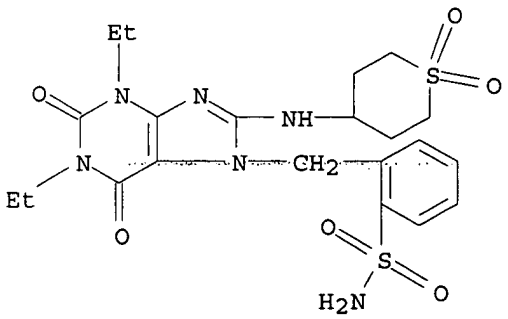




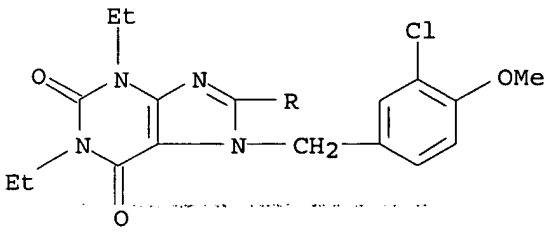
RN 405215-44-3 USPATFULL
CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

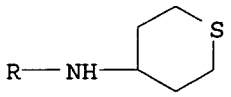


RN 405215-45-4 USPATFULL
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

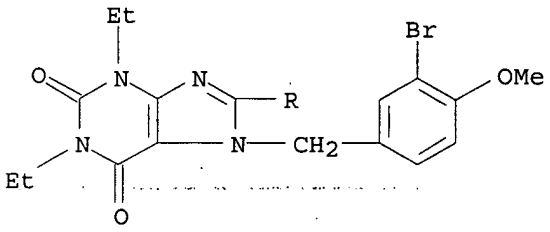


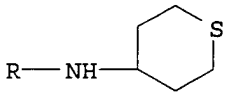
RN 405215-46-5 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-chloro-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)





RN 405215-47-6 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)





L6 ANSWER 3 OF 7 USPATFULL on STN

ACCESSION NUMBER:	2004:216028 USPATFULL
TITLE:	Xanthine phosphodiesterase V inhibitors
INVENTOR(S):	Chackalamannil, Samuel, Califon, NJ, UNITED STATES Wang, Yuguang, North Brunswick, NJ, UNITED STATES Boyle, Craig D., Branchburg, NJ, UNITED STATES Stamford, Andrew W., Chatham Township, NJ, UNITED STATES

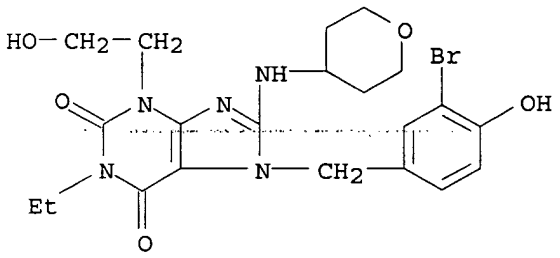
	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004167137	A1	20040826
APPLICATION INFO.:	US 2004-777849	A1	20040212 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2001-940760, filed on 28 Aug 2001, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-233567P	20000919 (60)
DOCUMENT TYPE:	Utility	

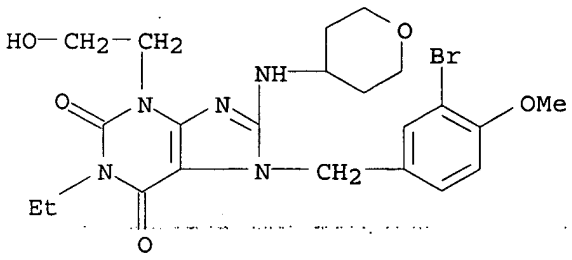
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
NUMBER OF CLAIMS: 40
EXEMPLARY CLAIM: 1
LINE COUNT: 2139
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A xanthine phosphodiesterase V inhibitor having the formula (I), with the variables defined herein, which is especially useful for treating male (erectile) and female sexual dysfunction and other physiological disorders: ##STR1##

For example, a representative compound of the invention is: ##STR2##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **405214-54-2P 405214-64-4P**
(preparation of arylmethyl-1H-purine-2,6-diones as xanthine phosphodiesterase V inhibitors)
RN 405214-54-2 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-hydroxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)

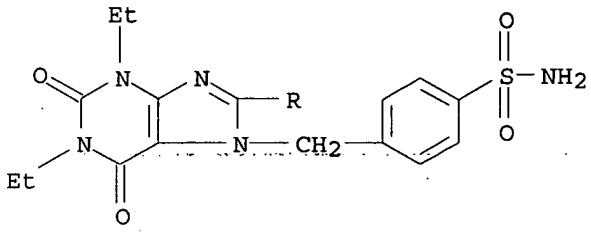


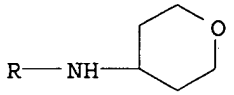
RN 405214-64-4 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



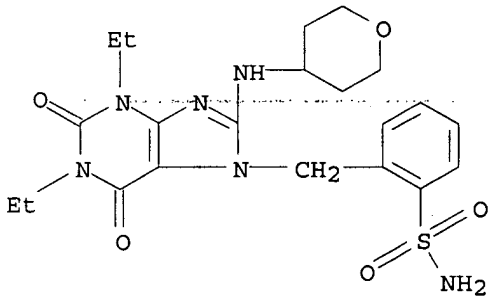
IT **405215-37-4P 405215-38-5P 405215-39-6P**
405215-40-9P 405215-41-0P 405215-42-1P
405215-43-2P 405215-44-3P 405215-45-4P
405215-46-5P 405215-47-6P
(xanthine phosphodiesterase v inhibitors)
RN 405215-37-4 USPATFULL
CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-

[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)





RN 405215-38-5 USPATFULL
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



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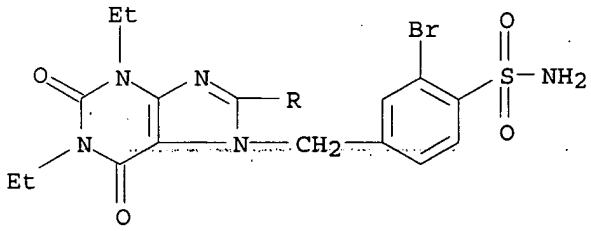

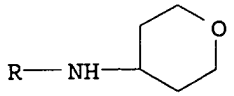

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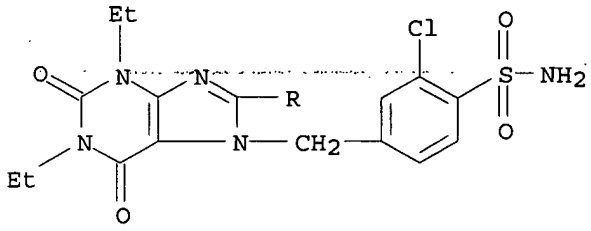

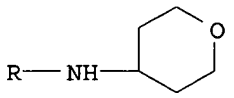

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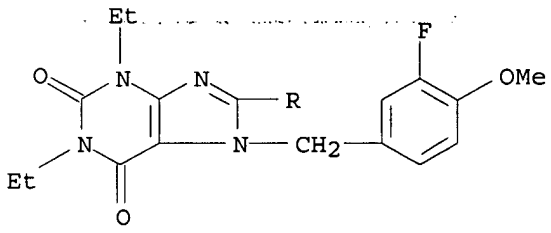

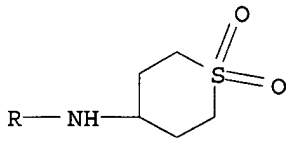

RN 405215-42-1 USPATFULL
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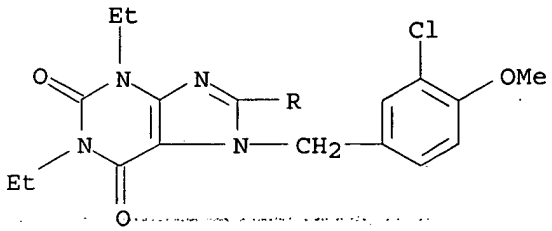

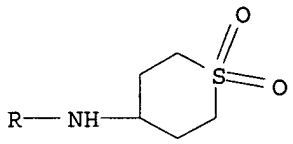

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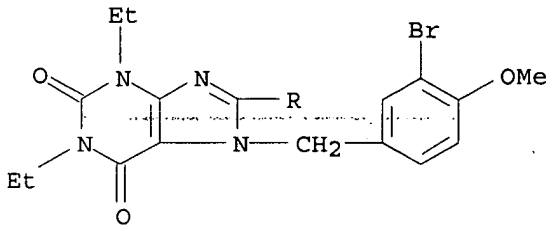

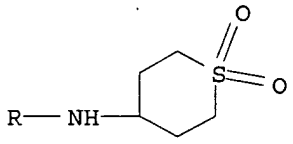

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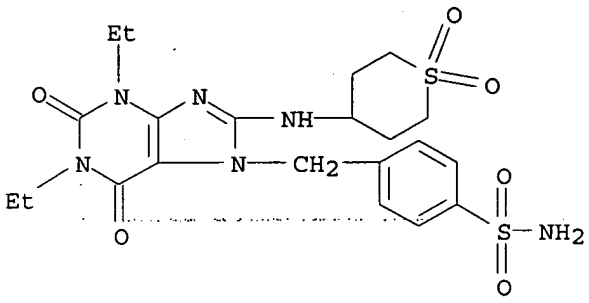
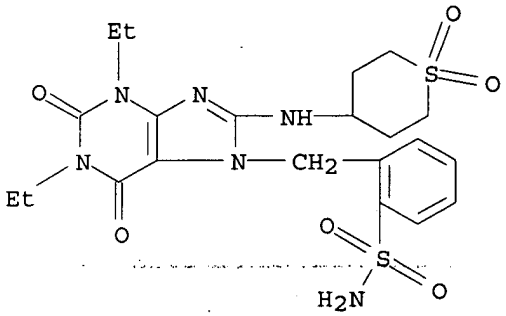


RN 405215-45-4 USPATFULL
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



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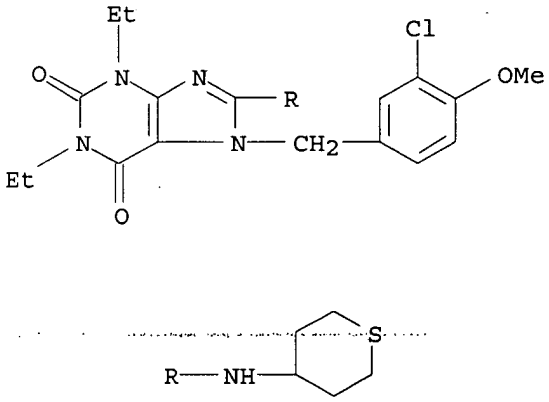

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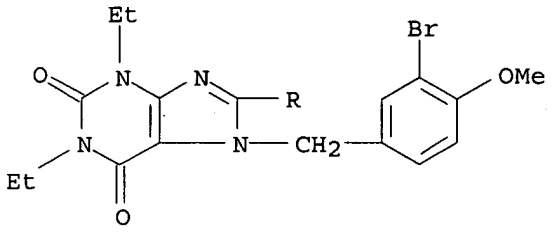

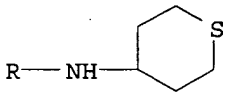

L6 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:676018 CAPLUS
DOCUMENT NUMBER: 137:216824
TITLE: Preparation of xanthine derivatives as dipeptidylpeptidase-IV inhibitors
INVENTOR(S): Himmelsbach, Frank; Mark, Michael; Eckhardt, Matthias; Langkopf, Elke; Maier, Roland; Lotz, Ralf
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 373 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068420	A1	20020906	WO 2002-EP1820	20020221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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DE 10117803	A1	20021024	DE 2001-10117803	20010410
DE 10140345	A1	20030227	DE 2001-10140345	20010817
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EP 1368349	A1	20031210	EP 2002-701288	20020221
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JP 2004522786	T2	20040729	JP 2002-567932	20020221
BG 108093	A	20040831	BG 2003-108093	20030813
NO 2003003726	A	20030821	NO 2003-3726	20030821
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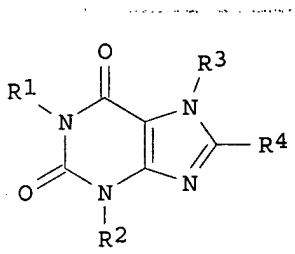
PRIORITY APPLN. INFO.:			DE 2001-10109021 A	20010224

DE 2001-10117803 A 20010410
DE 2001-10140345 A 20010817
DE 2002-10203486 A 20020130
WO 2002-EP1820 W 20020221

OTHER SOURCE(S): MARPAT 137:216824
ED Entered STN: 08 Sep 2002
GI



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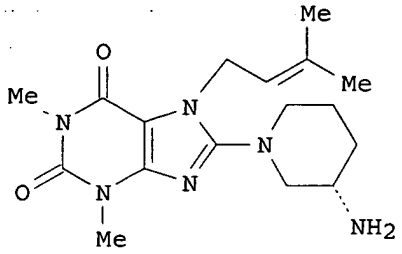

II

AB Xanthine derivs. of formula I [R1, R2 = H, alkyl, alkenyl, etc.; R3 = alkyl, arylalkyl, etc.; R4 = heterocyclyl, cycloalkyl, aminoalkyl, etc.] are prepared which exhibit an inhibitory effect on the activity of the dipeptidylpeptidase-IV enzyme. Pharmaceutical compns. containing I are described. Thus, II was prepared and had an IC50 of 22 nM against dipeptidylpeptidase-IV.

IC ICM C07D473-04
ICS A61P005-00
CC 26-9 (Biomolecules and Their Synthetic Analogs)
Section cross-reference(s): 1, 63

IT 389060-12-2P 389060-14-4P 454451-31-1P 454451-32-2P 454451-33-3P
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(preparation of xanthine derivs. as dipeptidylpeptidase-IV inhibitors)

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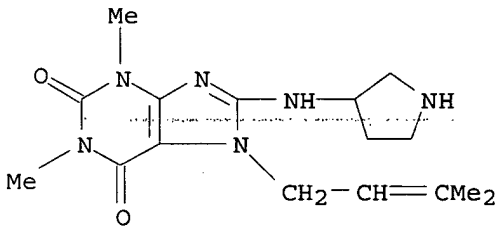
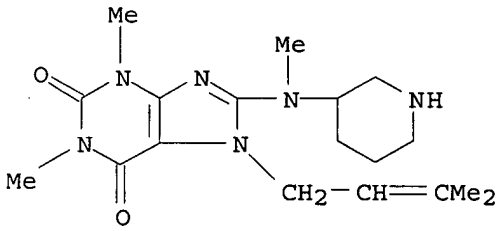
454710-93-1P 454710-94-2P 454710-95-3P 454710-96-4P 454710-97-5P
454710-98-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of xanthine derivs. as dipeptidylpeptidase-IV inhibitors)

IT **454707-22-3P 454707-23-4P 454707-30-3P**
454707-31-4P 454707-35-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of xanthine derivs. as dipeptidylpeptidase-IV inhibitors)

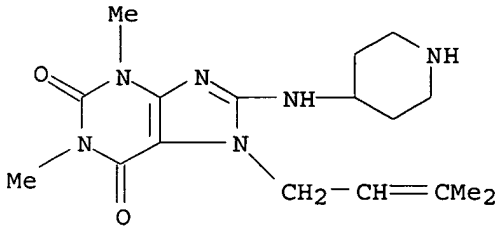
RN 454707-22-3 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-8-(3-pyrrolidinylamino)- (9CI) (CA INDEX NAME)



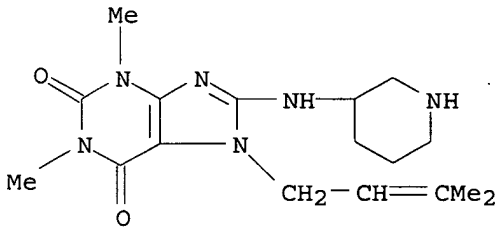
RN 454707-23-4 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-8-(methyl-3-piperidinylamino)- (9CI) (CA INDEX NAME)



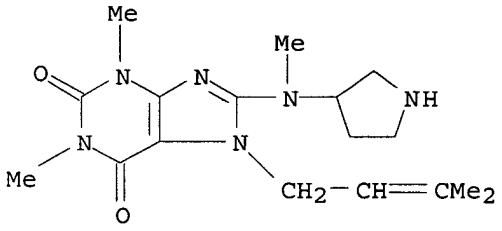
RN 454707-30-3 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-8-(4-piperidinylamino)- (9CI) (CA INDEX NAME)



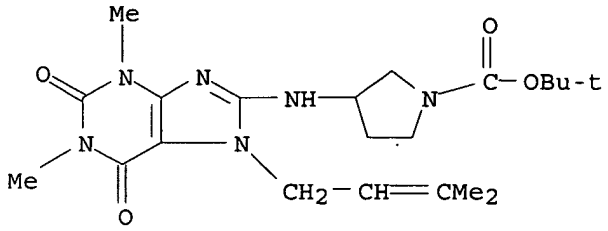
RN 454707-31-4 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-8-(3-piperidinylamino)- (9CI) (CA INDEX NAME)



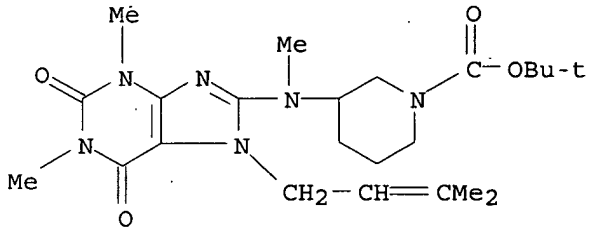
RN 454707-35-8 CAPLUS
CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-8-(methyl-3-pyrrolidinylamino)- (9CI) (CA INDEX NAME)



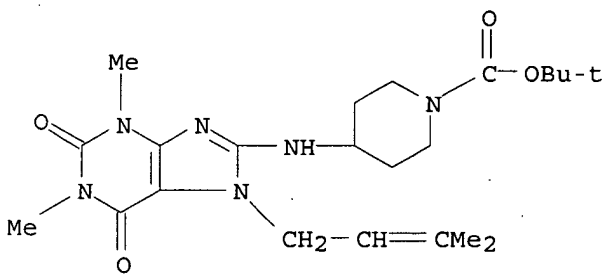
IT **454709-31-0P 454709-32-1P 454709-34-3P**
454709-35-4P 454709-37-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of xanthine derivs. as dipeptidylpeptidase-IV inhibitors)
RN 454709-31-0 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[[2,3,6,7-tetrahydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



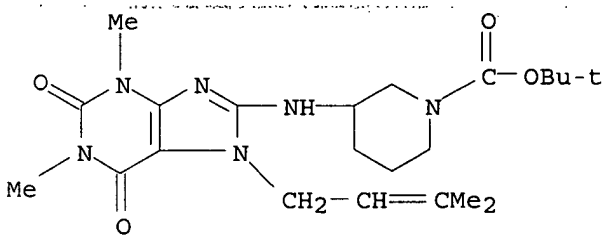
RN 454709-32-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[methyl[2,3,6,7-tetrahydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



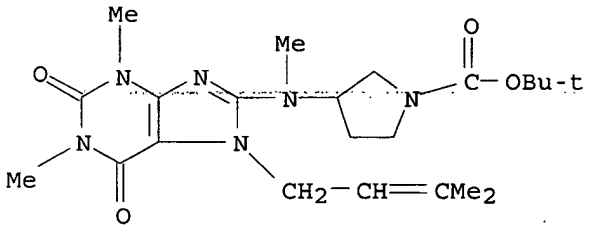
RN 454709-34-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[2,3,6,7-tetrahydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 454709-35-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[2,3,6,7-tetrahydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 454709-37-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 3-[methyl[2,3,6,7-tetrahydro-1,3-dimethyl-7-(3-methyl-2-butenyl)-2,6-dioxo-1H-purin-8-yl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:240775 CAPLUS
DOCUMENT NUMBER: 136:263171
TITLE: Preparation of arylmethyl-1H-purine-2,6-diones as xanthine phosphodiesterase V inhibitors
INVENTOR(S): Chackalamannil, Samuel; Wang, Yuguang; Boyle, Craig D.; Stamford, Andrew W.
PATENT ASSIGNEE(S): Schering Corporation, USA
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002024698	A1	20020328	WO 2001-US28983	20010917
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002169174	A1	20021114	US 2001-940760	20010828
US 6821978	B2	20041123		
CA 2421910	AA	20020328	CA 2001-2421910	20010917
AU 2001091022	A5	20020402	AU 2001-91022	20010917
EP 1319003	A1	20030618	EP 2001-971092	20010917
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013953	A	20030722	BR 2001-13953	20010917
JP 2004509892	T2	20040402	JP 2002-529108	20010917
NZ 524433	A	20040924	NZ 2001-524433	20010917
NO 2003001238	A	20030514	NO 2003-1238	20030318
US 2004167137	A1	20040826	US 2004-777849	20040212
US 2004229885	A1	20041118	US 2004-864218	20040609

PRIORITY APPLN. INFO.: US 2000-233567P P 20000919
US 2001-940760 A3 20010828
WO 2001-US28983 W 20010917
OTHER SOURCE(S): CASREACT 136:263171; MARPAT 136:263171
ED Entered STN: 28 Mar 2002
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; R1, R2 independently = C1-15 alkyl, C2-15 alkenyl, C2-15 alkynyl, C3-15 cycloalkyl, heteroaryl, OH, CO2H, CHO, CONH2, H; R3 = aryl, heteroaryl; R4 = C3-15 cycloalkyl with or without one or more substituents, C3-15 cycloalkenyl, with or without one or more substituents, heterocycloalkyl of 3 to 15 members, with or without one or more substituents], enantiomers, stereoisomers, tautomers and/or prodrug are prepared as xanthine phosphodiesterase V inhibitors and are useful for treating male (erectile) and female sexual dysfunction and other physiol. disorders. Method for treating disorders including title compds. I and/or with nitrate donating pharmaceutical composition and comprising a prostanoid, α-adrenergic receptor, dopamine receptor agonist, etc. Thus, the title compound II was prepared from bromotheophylline, 6-chloropiperonyl chloride, and cyclohexylamine in the presence of 1-methyl-2-pyrrolidinone (NMP) and diisopropylethylamine (DIPEA) in sealed tube ate 160°.

IC ICM C07D473-04
ICS C07D473-06; C07D473-08; A61K031-522; A61P015-00; A61P009-00

CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63

IT **405214-54-2P** 405214-59-7P 405214-60-0P 405214-61-1P
405214-62-2P 405214-63-3P **405214-64-4P** 405214-72-4P
405214-79-1P 405215-11-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylmethyl-1H-purine-2,6-diones as xanthine phosphodiesterase V inhibitors)

IT 309937-39-1P 359697-98-6P 359901-51-2P 359901-85-2P 359902-72-0P
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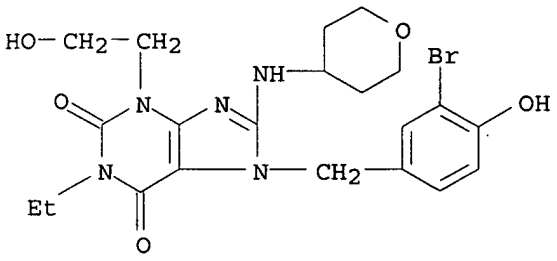
405215-40-9P 405215-41-0P 405215-42-1P
405215-43-2P 405215-44-3P 405215-45-4P
405215-46-5P 405215-47-6P 405215-48-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

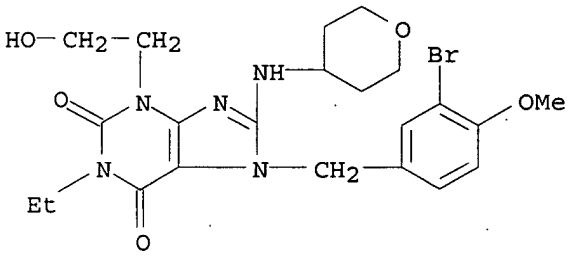
(Uses)
(xanthine phosphodiesterase v inhibitors)

IT **405214-54-2P 405214-64-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylmethyl-1H-purine-2,6-diones as xanthine phosphodiesterase V inhibitors)

RN 405214-54-2 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-hydroxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)

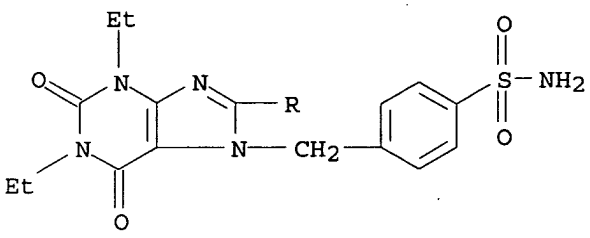


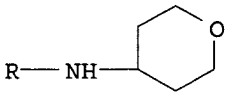
RN 405214-64-4 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)



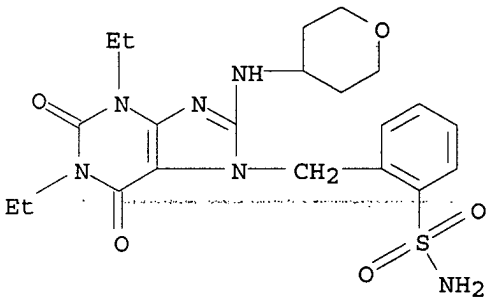
IT **405215-37-4P 405215-38-5P 405215-39-6P**
405215-40-9P 405215-41-0P 405215-42-1P
405215-43-2P 405215-44-3P 405215-45-4P
405215-46-5P 405215-47-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(xanthine phosphodiesterase v inhibitors)

RN 405215-37-4 CAPLUS
CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

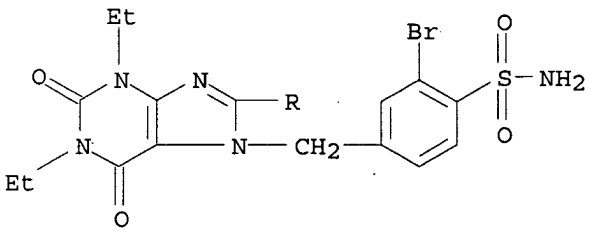


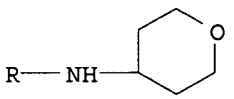


RN 405215-38-5 CAPLUS
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



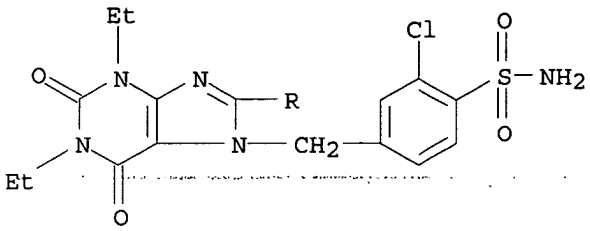
RN 405215-39-6 CAPLUS
CN Benzenesulfonamide, 2-bromo-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

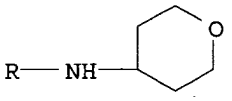




RN 405215-40-9 CAPLUS
CN Benzenesulfonamide, 2-chloro-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-

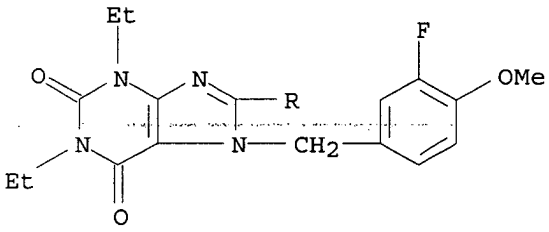
8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

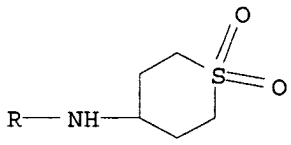




RN 405215-41-0 CAPLUS

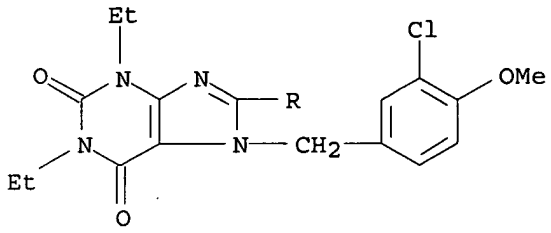
CN 1H-Purine-2,6-dione, 1,3-diethyl-7-[(3-fluoro-4-methoxyphenyl)methyl]-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)

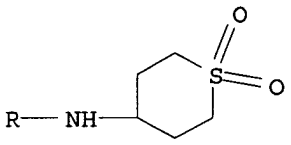




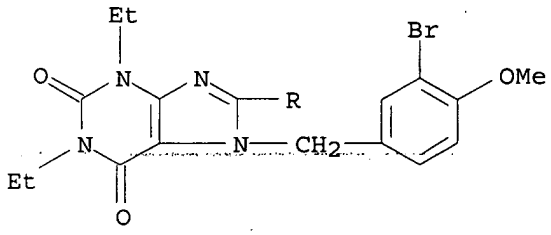
RN 405215-42-1 CAPLUS

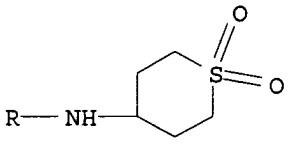
CN 1H-Purine-2,6-dione, 7-[(3-chloro-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



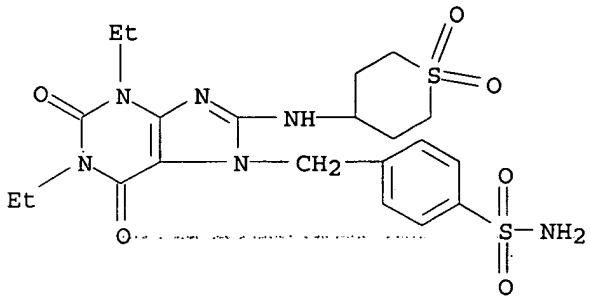


RN 405215-43-2 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)

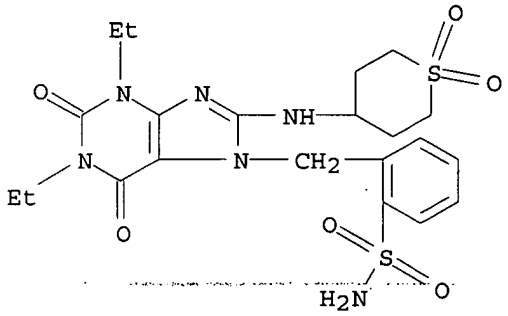




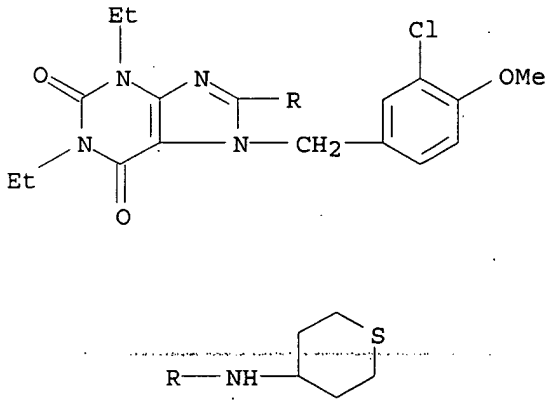
RN 405215-44-3 CAPLUS
CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



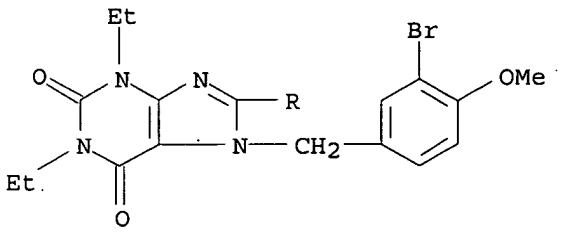
RN 405215-45-4 CAPLUS
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

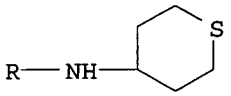


RN 405215-46-5 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-chloro-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



RN 405215-47-6 CAPLUS
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)





REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 7 USPATFULL on STN
ACCESSION NUMBER: 2002:301629 USPATFULL
TITLE: Xanthine phosphodiesterase V inhibitors
INVENTOR(S): Chackalamannil, Samuel, East Brunswick, NJ, UNITED STATES
Wang, Yuguang, North Brunswick, NJ, UNITED STATES
Boyle, Craig D., Branchburg, NJ, UNITED STATES
Stamford, Andrew W., Chatham Township, NJ, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2002169174	A1	20021114	
	US 6821978	B2	20041123	
APPLICATION INFO.:	US 2001-940760	A1	20010828	(9)

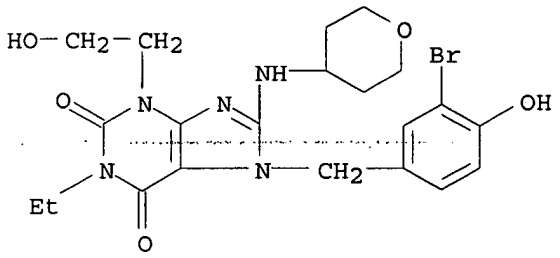
	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-233567P	20000919 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: SCHERING-PLOUGH CORPORATION, PATENT DEPARTMENT (K-6-1, 1990), 2000 GALLOPING HILL ROAD, KENILWORTH, NJ, 07033-0530
NUMBER OF CLAIMS: 40
EXEMPLARY CLAIM: 1
LINE COUNT: 2139
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A xanthine phosphodiesterase V inhibitor having the formula (I), with the variables defined herein, which is especially useful for treating male (erectile) and female sexual dysfunction and other physiological disorders: ##STR1##

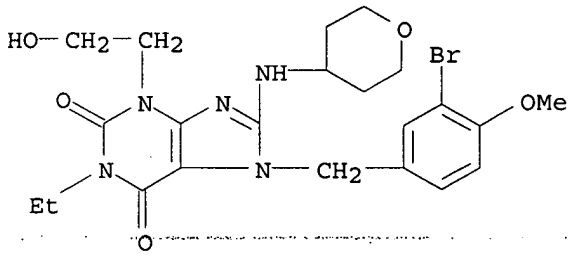
For example, a representative compound of the invention is: ##STR2##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **405214-54-2P 405214-64-4P**
(preparation of arylmethyl-1H-purine-2,6-diones as xanthine phosphodiesterase V inhibitors)
RN 405214-54-2 USPATFULL

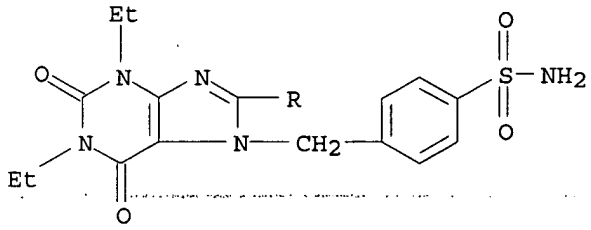
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-hydroxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)

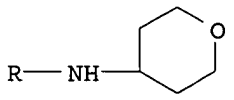


RN 405214-64-4 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1-ethyl-3,7-dihydro-3-(2-hydroxyethyl)-8-[(tetrahydro-2H-pyran-4-yl)amino]- (9CI) (CA INDEX NAME)

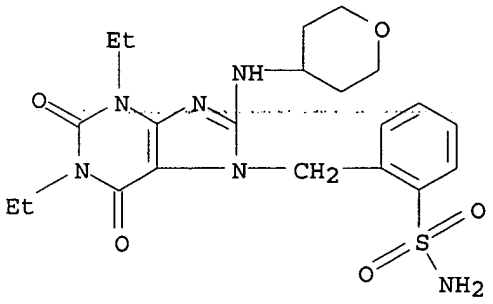


IT **405215-37-4P 405215-38-5P 405215-39-6P**
405215-40-9P 405215-41-0P 405215-42-1P
405215-43-2P 405215-44-3P 405215-45-4P
405215-46-5P 405215-47-6P
(xanthine phosphodiesterase v inhibitors)
RN 405215-37-4 USPATFULL
CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

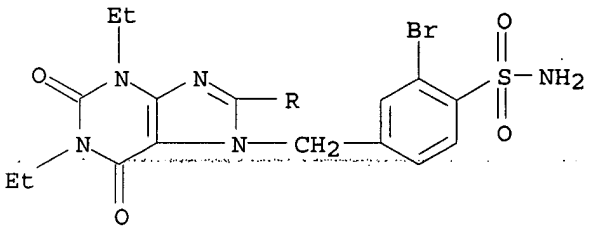


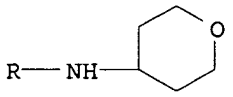


RN 405215-38-5 USPATFULL
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

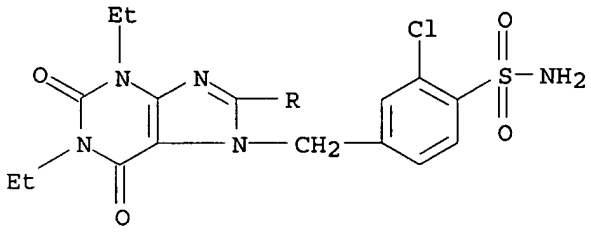


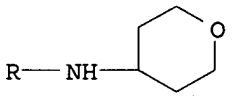
RN 405215-39-6 USPATFULL
CN Benzenesulfonamide, 2-bromo-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



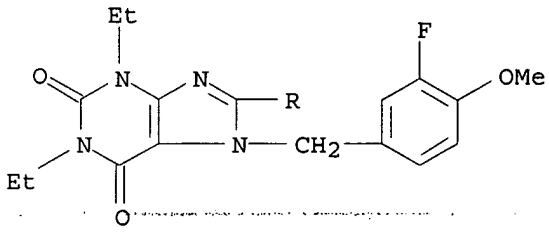


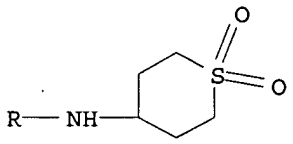
RN 405215-40-9 USPATFULL
CN Benzenesulfonamide, 2-chloro-4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-2H-pyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



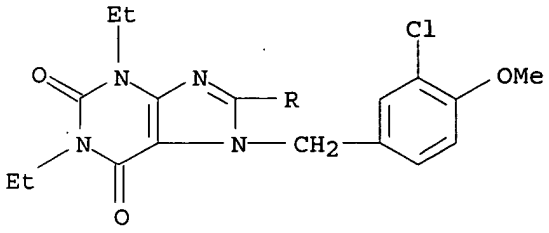


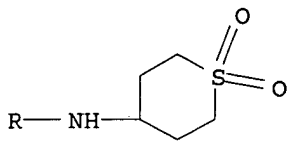
RN 405215-41-0 USPATFULL
CN 1H-Purine-2,6-dione, 1,3-diethyl-7-[(3-fluoro-4-methoxyphenyl)methyl]-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



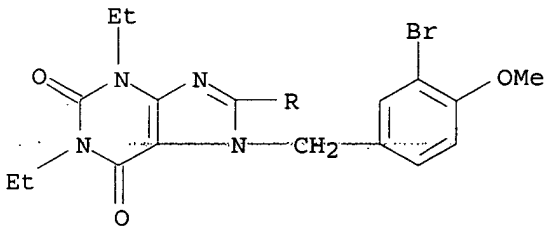


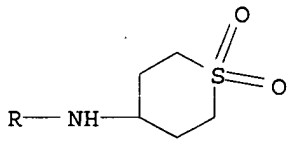
RN 405215-42-1 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-chloro-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



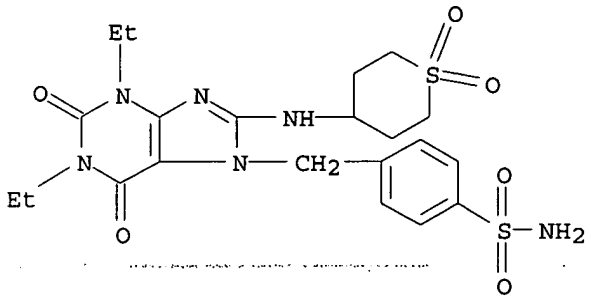


RN 405215-43-2 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)

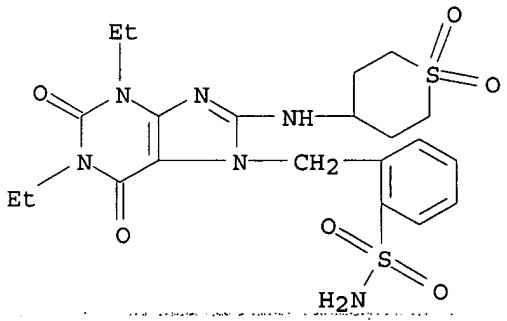




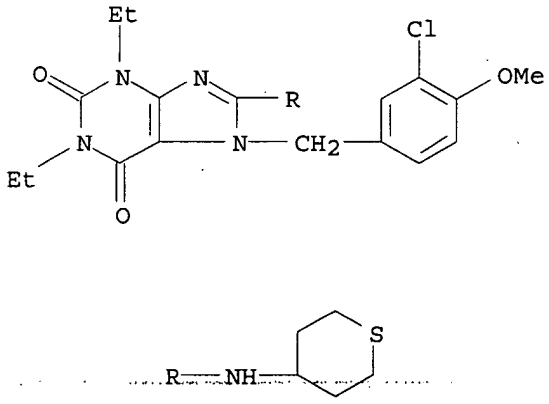
RN 405215-44-3 USPATFULL
CN Benzenesulfonamide, 4-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)



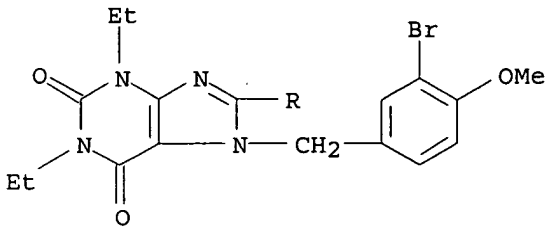
RN 405215-45-4 USPATFULL
CN Benzenesulfonamide, 2-[[1,3-diethyl-1,2,3,6-tetrahydro-2,6-dioxo-8-[(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)amino]-7H-purin-7-yl]methyl]- (9CI) (CA INDEX NAME)

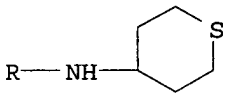


RN 405215-46-5 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-chloro-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)



RN 405215-47-6 USPATFULL
CN 1H-Purine-2,6-dione, 7-[(3-bromo-4-methoxyphenyl)methyl]-1,3-diethyl-3,7-dihydro-8-[(tetrahydro-2H-thiopyran-4-yl)amino]- (9CI) (CA INDEX NAME)

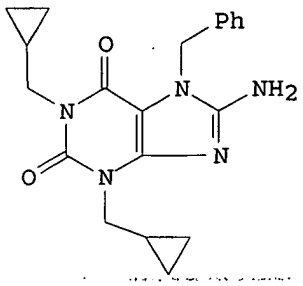




L6 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:551010 CAPLUS
DOCUMENT NUMBER: 117:151010
TITLE: 7-alkyl-8-aminoxanthine and 7-alkyl-8-chloroxanthine derivatives, a method for their preparation and their use as phosphodiesterase inhibitor, antiallergic and for treatment of eosinophilia
INVENTOR(S): Buckle, Derek Richard; Smith, David Glynn; Fenwick, Ashley Edward
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9205175	A1	19920402	WO 1991-GB1633	19910923
W: AU, CA, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE				
CA 2092430	AA	19920327	CA 1991-2092430	19910923
AU 9185413	A1	19920415	AU 1991-85413	19910923
AU 653364	B2	19940929		
EP 550570	A1	19930714	EP 1991-917224	19910923
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06501251	T2	19940210	JP 1991-515543	19910923
ZA 9107610	A	19920930	ZA 1991-7610	19910924
PRIORITY APPLN. INFO.:			GB 1990-20959 A	19900926
			WO 1991-GB1633 A	19910923

OTHER SOURCE(S): CASREACT 117:151010; MARPAT 117:151010
ED Entered STN: 17 Oct 1992
GI



I

AB Certain 7-alkylxanthine derivs. (7-alkyl-1H-purine-2,6-diones) are claimed. A process for their preparation comprises the alkylation of a xanthine derivative Pharmaceuticals containing said compds. are claimed for the treatment of disorders associated with increased nos. of eosinophils and allergic disorders associated with atopy; the compds. are phosphodiesterase inhibitors. These compds. have potential use as inhibitors for tumor necrosis factor, HIV, AIDS, arthritis, and for the treatment of conditions associated with infection (no data). Treatment of 8-amino-1,3-bis(cyclopropylmethyl)xanthine with KOCMe3/DMF and benzyl bromide gave 8-amino-7-benzyl-1,3-bis(cyclopropylmethyl)xanthine (I) in 84% yield. I was active in the treatment of blood eosinophilia in rats and had activity as phosphodiesterase inhibitor.

IC ICM C07D473-06
ICS A61K031-52

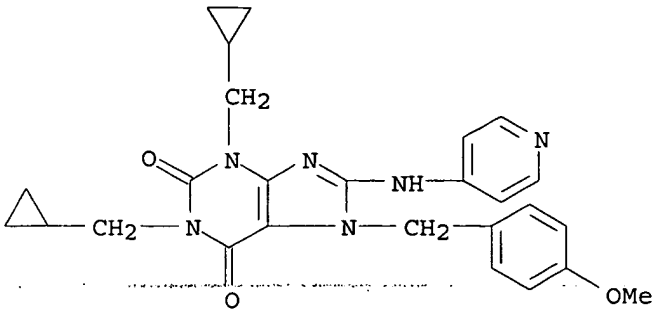
CC 28-16 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1

IT 143095-10-7P 143410-85-9P 143410-86-0P 143410-87-1P 143410-88-2P
143410-89-3P **143410-90-6P** 143410-91-7P 143410-92-8P
143410-93-9P 143410-94-0P 143410-95-1P 143410-96-2P 143410-97-3P
143410-98-4P 143410-99-5P 143411-01-2P 143411-02-3P 143411-03-4P
143411-04-5P 143411-05-6P 143411-06-7P 143411-07-8P 143411-08-9P,
8-Amino-7-benzyl-1,3-bis(cyclopropylmethyl)xanthine
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as phosphodiesterase inhibitor, antiallergic and for treatment of eosinophilia)

IT **143410-90-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as phosphodiesterase inhibitor, antiallergic and for treatment of eosinophilia)

RN 143410-90-6 CAPLUS

CN 1H-Purine-2,6-dione, 1,3-bis(cyclopropylmethyl)-3,7-dihydro-7-[(4-methoxyphenyl)methyl]-8-(4-pyridinylamino)- (9CI) (CA INDEX NAME)



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